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-> b reg
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DICTIONARY FILE UPDATES:   1 SEP 2008  HIGHEST RN 1045602-82-1

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-> d que sta l8
L6                  STR

       5   6
       O   O
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        \   \
HOZC-~-~C-~-C-~-~CO2H
   1    2   3    4

NODE ATTRIBUTES:
CONNECT IS E2  RC AT   5
CONNECT IS E2  RC AT   6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
L8          3855 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED   24800 ITERATIONS                          3855 ANSWERS
SEARCH TIME: 00.00.01


-> b hcap
FILE 'HCAPLUS' ENTERED AT 13:21:38 ON 02 SEP 2008
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FILE COVERS 1907 - 2 Sep 2008  VOL 149 ISS 10
FILE LAST UPDATED: 1 Sep 2008  (20080901/ED)

HCAplus now includes complete International Patent Classification (IPC)
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reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

-> d bib abs hitstr 128 tot

L28 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

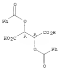
AN 2005:540573 HCAPLUS
DN 143:65677
TI A process for the resolution of nefopam
IN Harris, Michael John; Brown, Stuart
PA Arakis Ltd., UK
SO PCT Int. Appl., 7 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2005056539	A2	20050623	2004WO-GB0005198	20041213
	WO--2005056539	A3	20051124		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2548507	A1	20050623	2004CA-002548507	20041213
	EP-----1692118	A2	20060823	2004EP-000806018	20041213
	EP-----1692118	B1	20070418		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN-----1894224	A	20070110	2004CN-080037014	20041213
	AT------360006	T	20070515	2004AT-000806018	20041213
	JP--2007513936	T	20070531	2006JP-000543627	20041213
	ES-----2284078	T3	20071101	2004ES-000806018	20041213
	IN-2006DN02963	A	20070810	2006IN-DN0002963	20060523
	MX-2006PA06522	A	20060823	2006MX-PA0006522	20060608
	US-20070276137	A1	20071129	2007US-000580621	20070327 <--
PRAI	2003GB-000028871	A	20031212		
	2004WO-GB0005198	W	20041213		

AB A process for increasing the optical purity of a mixture of enantiomers of nefopam uses a substantially single enantiomer of a O,O-diaroyltartaric acid as a resolving agent, via a bisnefopam salt of the acid. This salt is a new compound. Thus, racemic nefopam-HCl was treated with 2M NaOH solution, and solid NaOH was added. The free base was treated with O,O-dibenzoyltartaric acid to give the (+)-bis-nefopam O,O-dibenzoyl-L-tartaric acid salt. Chiral HPLC indicated 83% e.e. for (+)-nefopam.

IT 2743-38-6 17026-42-5, Dibenzoyl-D-tartaric acid
23327-57-3, Nefopam hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the resolution of nefopam)
RN 2743-38-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)- (CA INDEX NAME)

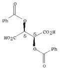
Absolute stereochemistry. Rotation (-).



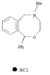
L28 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 17026-42-5 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

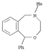
Absolute stereochemistry. Rotation (+).



RN 23327-57-3 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



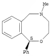
IT 13669-70-0P, Nefopam 110011-82-0P
854439-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the resolution of nefopam)
RN 13669-70-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 110011-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L28 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

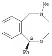


RN 854439-90-0 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (1S)-3,4,5,6-tetrahydro-5-methyl-1-phenyl-1H-2,5-benzoxazocine (1:2) (CA INDEX NAME)

CM 1

CRN 110011-82-0
CMF C17 H19 N O

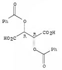
Absolute stereochemistry. Rotation (+).



CM 2

CRN 2743-38-6
CMF C18 H14 O8

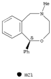
Absolute stereochemistry. Rotation (-).



IT 53648-31-0P, (+)-Nefopam hydrochloride
91463-82-0P, (-)-Nefopam
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the resolution of nefopam)
RN 53648-31-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

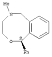
Absolute stereochemistry. Rotation (+).

L28 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 91463-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1R)- (CA INDEX NAME)

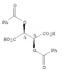
Absolute stereochemistry.



=> d bib abs hitstr l18 tot

L18 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:410818 HCAPLUS
DN 145:136942
TI Development of dinitrophenylated cyclodextrin derivatives for enhanced enantiomeric separations by high-performance liquid chromatography
AU Zhong, Qiqing; He, Lingfeng; Beesley, Thomas E.; Trahanovsky, Walter S.; Sun, Ping; Wang, Chunlei; Armstrong, Daniel W.
CS Department of Chemistry, Iowa State University, Ames, IA, 50011, USA
SO Journal of Chromatography, A (2006), 1115(1-2), 19-45
CODEN: JCRAEY; ISSN: 0021-9673
PB Elsevier B.V.
DT Journal
LA English
AB The synthesis and evaluation of new dinitrophenyl (DNP) substituted β-cyclodextrin (β-CD) chiral stationary phases (CSPs) for the enantiosepn. of various classes of chiral analytes by HPLC are presented. The dinitrophenyl substituted β-CD derivs. were synthesized and covalently bonded to functionalized 5 μm spherical porous silica gel. These are the 1st reported derivatized cyclodextrin which contains π-electron deficient substituents (i.e., π-acidic moieties). The column performance in terms of their ability to sep. enantiomers is evaluated. A variety of different dinitro-substituted aryl groups were studied and compared. The pH of the mobile phase buffers, the buffer composition, the number and position of the dinitro groups on the Ph ring substituent, the degree of substitution, and the bonding strategy all greatly affect the performance of the CSPs. A large variety of racemic compds. were separated successfully on these CSPs. The bonded dinitrophenyl-derivatized cyclodextrins are stable in all three mobile phase modes, namely, the reversed-phase, polar organic, and normal phase modes. No degradation in column performance was observed in any mode of operation even after >1000 injections. The anal. applicability of these types of CSPs for enantiomeric sepns. is discussed.
IT 17026-42-5, 2,3-Dibenzoyl-D-tartaric acid
RL: ANT (Analyte); ANST (Analytical study)
(2,3-dibenzoyl-D-tartaric acid, analyte; dinitrophenylated cyclodextrin derivs. for enhanced enantiomeric sepns. by high-performance liquid chromatog.)
RN 17026-42-5 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

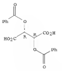
Absolute stereochemistry. Rotation (+).



IT 2743-38-6, 2,3-Dibenzoyl-L-tartaric acid 22333-70-6
23327-57-3 53625-25-5 53648-31-0
RL: ANT (Analyte); ANST (Analytical study)
(analyte; dinitrophenylated cyclodextrin derivs. for enhanced enantiomeric sepns. by high-performance liquid chromatog.)
RN 2743-38-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)- (CA INDEX NAME)

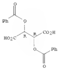
Absolute stereochemistry. Rotation (-).

L18 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

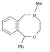


RN 22333-70-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 23327-57-3 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

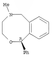


● HCl

RN 53625-25-5 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

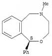
L18 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 53648-31-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

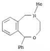
Absolute stereochemistry. Rotation (+).



● HCl

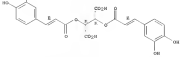
RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:44967 HCAPLUS
DN 144:205230
TI Probabilistic Neural Network Model for the In Silico Evaluation of Anti-HIV Activity and Mechanism of Action
AU Vilar, Santiago; Santana, Lourdes; Uriarte, Eugenio
CS Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15782, Spain
SO Journal of Medicinal Chemistry (2006), 49(3), 1118-1124
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A theor. model has been developed that discriminates between active and nonactive drugs against HIV-1 with four different mechanisms of action for the active drugs. The model was built up using a probabilistic neural network (PNN) algorithm and a database of 2720 compds. The model showed an overall accuracy of 97.34% in the training series, 85.12% in the selection series, and 84.78% in an external prediction series. The model not only correctly classified a very heterogeneous series of organic compds. but also discriminated between very similar active/nonactive chems. that belong to the same family of compds. More specifically, the model recognized 96.02% of nonactive compds., 94.24% of active compds. that inhibited reverse transcriptase, 97.24% of protease inhibitors, 97.14% of virus uncoating inhibitors, and 90.32% of integrase inhibitors. The results indicate that this approach may represent a powerful tool for modeling large databases in QSAR with applications in medicinal chemical
IT 13669-70-0, Nefopam 70831-56-0
204273-55-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(probabilistic neural network model for In silico evaluation of anti-HIV activity and mechanism of action)
RN 13669-70-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 70831-56-0 HCAPLUS
CN Butanedioic acid, 2,3-bis[[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propen-1-yl]oxy]-, (2R,3R)- (CA INDEX NAME)

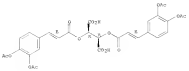
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 204273-55-2 HCAPLUS
CN Butanedioic acid, 2,3-bis[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propen-1-yl]oxy]-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Double bond geometry as shown.

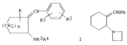


RE.CNT 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1984:6311 HCAPLUS
DN 100:6311
OREF 100:1083a,1086a
TI 2-(Phenylmethylene)cycloalkylamines
IN Szmuszkovicz, Jacob
PA Upjohn Co., USA
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 85811	A1	19830817	1982EP-000306779	19821220
	EP 85811	B1	19860507		
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4540690	A	19850910	1982US-000408333	19820816
	AU 8291343	A	19830818	1982AU-000091343	19821208
	AU 562051	B2	19870528		
	IL 67442	A	19860131	1982IL-000067442	19821209
	ZA 8209562	A	19831026	1982ZA-000009562	19821229
	JP 58159444	A	19830921	1983JP-000018726	19830207
	DK 8300530	A	19830810	1983DK-000000530	19830208
	HU 28475	A2	19831228	1983HU-000000432	19830208
	HU 190887	B	19861228		
	US 4652559	A	19870324	1985US-000757819	19850722
	AU 8663504	A	19870115	1986AU-000063504	19861003
PRAI	1982US-000347123	A	19820209		
	1982US-000408333	A	19820816		

OS CASREACT 100:6311; MARPAT 100:6311
GI



I II

AB I [n = 1-4; R = H, alkyl, heteroalkylene; R1, R2 = H, Cl, Br, F, OH, alkyl, etc.; R3R4N = alkylamino, furylmethylamino, etc., or (esp) (un)substituted azetidino] were prepared (82 in all). Thus, cyclohexanone morpholine enamine was prepared, treated with BzCl, then benzylamine, hydrogenated, dehydrated, and cyclized with Br(CH2)3Br to give (±)-E-II, which was superior to nefopam as an analgesic and had antidepressant activity.
IT 87982-49-8P 87982-50-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87982-49-8 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with (E)-(+)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-77-4
CMF C16 H21 N

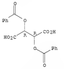
Rotation (+).
Double bond geometry as shown.

L18 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).



RN 87982-50-1 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with (E)-(-)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-76-3
CMF C16 H21 N

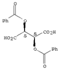
Rotation (-).
Double bond geometry as shown.

CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

L18 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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-> b uspatall
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CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATOLD' ENTERED AT 13:22:05 ON 02 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:22:05 ON 02 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

-> d bib abs hitstr 129 tot
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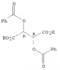
L29 ANSWER 1 OF 1 USPATFULL on STN
AN 2007:315932 USPATFULL
TI Process For The Resolution Of Nefopam
IN James, Michael Christopher, Manchester, UNITED KINGDOM
Brown, Stuart, Manchester, UNITED KINGDOM
PI US-20070276137 A1 20071129 <--
AI 2004US-000580621 A1 20041213 (10)
2004WO-GB0005198 20041213
20070327 PCT 371 date
PRAI 2003GB-000028871 20031212
DT Utility
FS APPLICATION
LREP SALIWANCHIK LLOYD & SALIWANCHIK, A PROFESSIONAL ASSOCIATION, PO BOX 142950, GAINESVILLE, FL, 32614-2950, US
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 196
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for increasing the optical purity of a mixture of enantiomers of nefopam uses a substantially single enantiomer of a O,O-diaroyltartaric acid as a resolving agent, via a bisnefopam salt of the acid. This salt is new.

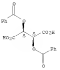
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 2743-38-6 17026-42-5, Dibenzoyl-D-tartaric acid
23327-57-3, Nefopam hydrochloride
(process for the resolution of nefopam)
RN 2743-38-6 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



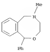
RN 17026-42-5 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



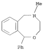
RN 23327-57-3 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

L29 ANSWER 1 OF 1 USPATFULL on STN (Continued)



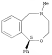
● HCl

IT 13669-70-0P, Nefopam 110011-82-0P 854439-90-0P
(process for the resolution of nefopam)
RN 13669-70-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 110011-82-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



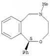
RN 854439-90-0 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (1S)-3,4,5,6-tetrahydro-5-methyl-1-phenyl-1H-2,5-benzoxazocine (1:2) (CA INDEX NAME)

CM 1

CRN 110011-82-0
CMF C17 H19 N O

Absolute stereochemistry. Rotation (+).

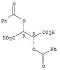
L29 ANSWER 1 OF 1 USPATFULL on STN (Continued)



CM 2

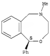
CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).



IT 53648-31-0P, (+)-Nefopam hydrochloride 91463-82-0P, (-)-Nefopam
(process for the resolution of nefopam)
RN 53648-31-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+)

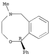


● HCl

RN 91463-82-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry

L29 ANSWER 1 OF 1 USPATFULL on STN (Continued)

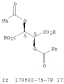


=> d bib abs hitstr 127 tot

L27 ANSWER 1 OF 10 USPATFULL on STN
AN 2003:38178 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, UNITED STATES
Mccauley, James, Belle Mead, NJ, UNITED STATES
PA Merck & Co, Inc (U.S. corporation)
PI US-20030027825 A1 20030206
US------6583142 B2 20030624
AI 2002US-000219386 A1 20020812 (10)
RLI Division of Ser. No. 2001US-000850370, filed on 7 May 2001, GRANTED, Pat. No. US------6432953 Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, GRANTED, Pat. No. US------6229010 Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, GRANTED, Pat. No. US------6096742 Continuation of Ser. No. 1998US-000108567, filed on 1 Jul 1998, ABANDONED
PRAI 1997US-000051600P 19970702 (60)
DT Utility
FS APPLICATION
LREP MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 2082
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,5-bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 17026-42-5 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



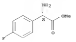
IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 170902-75-7 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6

L27 ANSWER 1 OF 10 USPATFULL on STN (Continued)
CMF C9 H10 F N O2

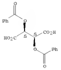
Absolute stereochemistry. Rotation (+).



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

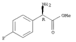


RN 171242-93-6 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (αR)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-76-8
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (-).

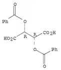


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

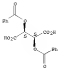
L27 ANSWER 1 OF 10 USPATFULL on STN (Continued)



L27 ANSWER 2 OF 10 USPATFULL on STN
AN 2001:205909 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
Mccauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc. (U.S. corporation)
PI US-20010041702 A1 20011115
US------6432953 B2 20020813
AI 2001US-000850370 A1 20010507 (9)
RLI Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, GRANTED, Pat. No. US------6229010
PRAI 1997US-000051600P 19970702 (60)
DT Utility
FS APPLICATION
LREP J. ERIC THIES, Patent Department, Merck & Co., Inc, P O. Box 2000, Rahway, NJ, 07065-0907
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 2079
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,1-bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 17026-42-5 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



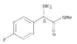
IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 170902-75-7 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (+).

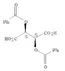
L27 ANSWER 2 OF 10 USPATFULL on STN (Continued)



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

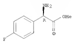


RN 171242-93-6 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (αR)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-76-8
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (-).

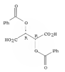


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

L27 ANSWER 2 OF 10 USPATFULL on STN (Continued)

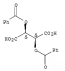


L27 ANSWER 3 OF 10 USPATFULL on STN
AN 2001:67821 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US-----6229010 B1 20010508
AI 1999US-000458168 19991209 (9)
RLI Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, now patented, Pat. No. US-----6096742
PRAI 1997US-000051600P 19970702 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Reamer, James H
LREP Thies, J. Eric, Rose, David L.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2023
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,,5-bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 17026-42-5 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



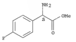
IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 170902-75-7 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (+).

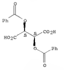
L27 ANSWER 3 OF 10 USPATFULL on STN (Continued)



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+)

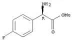


RN 171242-93-6 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (αR)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-76-8
CMF C9 H10 F N O2

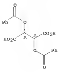
Absolute stereochemistry. Rotation (-).



CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).



L27 ANSWER 3 OF 10 USPATFULL on STN (Continued)

L27 ANSWER 4 OF 10 USPATFULL on STN

AN 2000:98427 USPATFULL

TI Polymorphic form of a tachykinin receptor antagonist

IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States

PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

PI US------6096742 20000801

AI 1998US-000212511 19981215 (9)

RLI Continuation of Ser. No. 1998US-000108567, filed on 1 Jul 1998, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Reamer, James H.

LREP Thies, J. Eric, Rose, David L.

CLMN Number of Claims: 8

ECL Exemplary Claim: 1,3

DRWN 2 Drawing Figure(s); 2 Drawing Page(s)

LN.CNT 2018

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,5-bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations

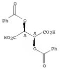
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)

RN 17026-42-5 USPATFULL

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)

RN 170902-75-7 USPATFULL

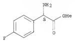
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (+).

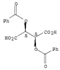
L27 ANSWER 4 OF 10 USPATFULL on STN (Continued)



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



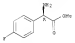
RN 171242-93-6 USPATFULL

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (αR)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-76-8
CMF C9 H10 F N O2

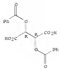
Absolute stereochemistry. Rotation (-).



CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).



L27 ANSWER 4 OF 10 USPATFULL on STN (Continued)

L27 ANSWER 5 OF 10 USPATFULL on STN

AN 87:20639 USPATFULL
TI 2-(Phenylmethylene)cycloalkyl-azetidines
IN Szmuszkovicz, Jacob, Kalamazoo, MI, United States
PA The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US-----4652559 19870324
AI 1985US-000757819 19850722 (6)
RLI Division of Ser. No. 1982US-000408333, filed on 16 Aug 1982, now patented, Pat. No. US-----4540690 which is a continuation-in-part of Ser. No. 1982US-000347123, filed on 9 Feb 1982, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Teoli, Jr., W.
LREP Reynolds, John T.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1,5
DRWN No Drawings
LN.CNT 2356
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

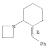
AB 2-(Phenylmethylene)cycloalkylamines and -azetidines of the formula ##STR1## and acid addition salts thereof, e.g., 1-[2-(phenylmethylene)cyclohexyl]azetidine, and related compounds, which have analgesic, antidepressant and mixed analgesic/antidepressant central nervous system (CNS) activities, and which are useful in treating pain and/or depression in mammals including humans. The invention provides processes for preparing the compounds as well as compositions containing the compounds and methods for using the compounds as analgesic and/or antidepressant drugs for humans and valuable mammalian animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 87982-49-8P 87982-50-1P
(preparation of)
RN 87982-49-8 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with (E)-(+)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-77-4
CMF C16 H21 N
CDES 2:E3:(+)

Rotation (+).
Double bond geometry as shown.

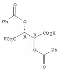


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

L27 ANSWER 5 OF 10 USPATFULL on STN (Continued)

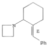


RN 87982-50-1 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with (E)-(-)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-76-3
CMF C16 H21 N
CDES 2:E3:(-)

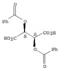
Rotation (-).
Double bond geometry as shown.



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



L27 ANSWER 6 OF 10 USPATFULL on STN

AN 85:53784 USPATFULL
TI 2-(Phenylmethylene)cycloalkylamines and -azetidines
IN Szmuszkovicz, Jacob, Kalamazoo, MI, United States
PA The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US-----4540690 19850910
AI 1982US-000408333 19820816 (6)
RLI Continuation-in-part of Ser. No. 1982US-000347123, filed on 9 Feb 1982, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Teoli, Jr., William A
LREP Reynolds, John T.
CLMN Number of Claims: 51
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2520
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

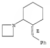
AB 2-(Phenylmethylene)cycloalkylamines and -azetidines of the formula ##STR1## and acid addition salts thereof, e.g., 1-[2-(phenylmethylene)cyclohexyl]azetidine, and related compounds, which have analgesic, antidepressant and mixed analgesic/antidepressant central nervous system (CNS) activities, and which are useful in treating pain and/or depression in mammals including humans. The invention provides processes for preparing the compounds as well as compositions containing the compounds and methods for using the compounds as analgesic and/or antidepressant drugs for humans and valuable mammalian animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 87982-49-8P 87982-50-1P
(preparation of)
RN 87982-49-8 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with (E)-(+)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-77-4
CMF C16 H21 N
CDES 2:E3:(+)

Rotation (+).
Double bond geometry as shown.

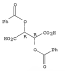


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

L27 ANSWER 6 OF 10 USPATFULL on STN (Continued)

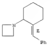


RN 87982-50-1 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with (E)-(-)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-76-3
CMF C16 H21 N
CDES 2:E3:(-)

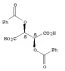
Rotation (-).
Double bond geometry as shown.



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



L27 ANSWER 7 OF 10 USPATFULL on STN
AN 82:30465 USPATFULL
TI 1,4-Cycloalkano-oxazepines, salts thereof and analgesic uses thereof
IN Treiber, Hans J , Bruehl, Germany, Federal Republic of
Lenke, Dieter, Ludwigshafen, Germany, Federal Republic of
Worstmann, Wolfgang, Gruenstadt, Germany, Federal Republic of
PA BASF Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)
PI US-----4336263 19820622
WO-----8000838 19800501
AI 1980US-000193947 19800626 (6)
1979WO-EP0000080 19791022
19800626 PCT 371 date
19800616 PCT 102(e) date
PRAI 1978DE-002846567 19781026
DT Utility
FS Granted
EXNAM Primary Examiner: Milestone, Norma S.
LREP Keil & Witherspoon
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 485
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to novel 1,4-cycloalkano-oxazepines of the general formula ##STR1## where R.sup.1 is hydrogen, hydroxyl or alkoxy or acyloxy of 1 to 4 carbon atoms, R sup.2 is a hydrocarbon radical of 1 to 3 carbon atoms, n is 1, 2 or 3 and x is 0 or 1, and of its salts with physiologically acceptable acids; processes for their preparation, and their use in therapy.

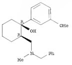
The novel substances are suitable for the pharmacotherapy of pains of various origins

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 75377-40-1P 75377-42-3P
(preparation and conversion of, to base)
RN 75377-40-1 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with cis-(-)-1-(3-methoxyphenyl)-2-[[methyl(phenylmethyl)amino]methyl]cyclohexanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75377-39-8
CMF C22 H29 N O2
CDES 2:CIS3:(-)

Rotation (-). Absolute stereochemistry unknown.

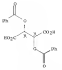


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

L27 ANSWER 7 OF 10 USPATFULL on STN (Continued)

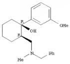


RN 75377-42-3 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with cis-(+)-1-(3-methoxyphenyl)-2-[[methyl(phenylmethyl)amino]methyl]cyclohexanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75377-41-2
CMF C22 H29 N O2
CDES 2:CIS3:(+)

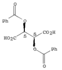
Rotation (+). Absolute stereochemistry unknown.



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



L27 ANSWER 8 OF 10 USPATFULL on STN
AN 81:28939 USPATFULL
TI Hexahydro-1,4-oxazepines, their preparation, and drugs containing these compounds
IN Treiber, Hans J , Bruehl, Germany, Federal Republic of
Lenke, Dieter, Ludwigshafen, Germany, Federal Republic of
Worstmann, Wolfgang, Gruenstadt, Germany, Federal Republic of
PA BASF Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation)
PI US-----4269833 19810526
AI 1979US-000108370 19791231 (6)
PRAI 1979DE-002901180 19790113
DT Utility
FS Granted
EXNAM Primary Examiner: Milestone, Norma S.
LREP Keil & Witherspoon
CLMN Number of Claims: 4
ECL Exemplary Claim: 1,4
DRWN No Drawings
LN.CNT 393
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel hexahydro-1,4-oxazepines of the general formula I ##STR1## where R.sup.1 is hydrogen, or alkyl or acyl each of 1 to 4 carbon atoms,

R.sup.2 is alkyl of 1 to 4 carbon atoms and

R.sup.3 and R.sup.4 are identical or different and each is hydrogen or methyl, and their salts with physiologically acceptable acids, processes for their preparation, drugs which contain these compounds, and their use in therapy.

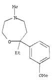
The compounds may be used for the pharmacotherapy of pain of various geneses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 75341-73-0P
(preparation and analgesic activity of)
RN 75341-73-0 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with (+)-7-ethylhexahydro-7-(3-methoxyphenyl)-4-methyl-1,4-oxazepine (9CI) (CA INDEX NAME)

CM 1

CRN 75341-72-9
CMF C15 H23 N O2
CDES 3:(+)

Rotation (+).

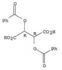


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

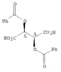
L27 ANSWER 8 OF 10 USPATFULL on STN (Continued)



L27 ANSWER 9 OF 10 USPAT2 on STN
AN 2003:38178 USPAT2
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Rahway, NJ, United States (U.S. corporation)
PI US-----6583142 B2 20030624
AI 2002US-000219386 20020812 (10)
RLI Division of Ser. No. 2001US-000850370, filed on 7 May 2001, now patented, Pat. No. US-----6432953 Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, now patented, Pat. No. US-----6229010 Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, now patented, Pat. No. US-----6096742 Continuation of Ser. No. 1998US-000108567, filed on 1 Jul 1998, now abandoned
PRAI 1997US-000051600P 19970702 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Reamer, James H
LREP Thies, J. Eric, Winokur, Melvin
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2016
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 17026-42-5 USPAT2
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



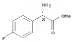
IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 170902-75-7 USPAT2
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6

L27 ANSWER 9 OF 10 USPAT2 on STN (Continued)
CMF C9 H10 F N O2

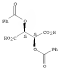
Absolute stereochemistry. Rotation (+).



CM 2

CRN 17026-42-5
CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

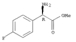


RN 171242-93-6 USPAT2
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (αR)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-76-8
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (-).

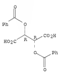


CM 2

CRN 2743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

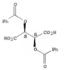
L27 ANSWER 9 OF 10 USPAT2 on STN (Continued)



L27 ANSWER 10 OF 10 USPAT2 on STN
AN 2001:205909 USPAT2
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US-----6432953 B2 20020813
AI 2001US-000850370 20010507 (9)
RLI Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, now patented, Pat. No. US-----6229010 Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, now patented, Pat. No. US-----6096742
PRAI 1997US-000051600P 19970702 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Reamer, James H
LREP Thies, J. Eric, Winokur, Melvin
CLMN Number of Claims: 19
ECL Exemplary Claim: 1,9
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2043
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,1-bis(trifluoromethyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 17026-42-5
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 17026-42-5 USPAT2
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 170902-75-7P 171242-93-6P
(preparation of polymorphic forms of tachykinin receptor antagonist bis(trifluoromethyl)phenylethoxy(fluorophenyl)oxotriazolomethylmorpholine)
RN 170902-75-7 USPAT2
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (αS)-methyl α-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 170902-74-6
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (+).

L27 ANSWER 10 OF 10 USPATFI on STN (Continued)

CM 1

CRN 17836-42-5
CMF C14 H14 O8

Absolute stereochemistry. Rotation (+).

RN 171241-52-6 USPATFI
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (4R)-methyl 4-amino-4-fluorobenzeneacetate (1:1) (CA INDEX NAME)

CM 1

CRN 175902-74-8
CMF C9 H10 F N O2

Absolute stereochemistry. Rotation (-).

CM 2

CRN 1743-38-6
CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

L27 ANSWER 10 OF 10 USPATFI on STN (Continued)

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-> d his

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L2             TRA L1 1- RN :        8 TERMS

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L6               STR
L7            50 L6

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L12         3521 L8

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L17            1 L16 AND L1
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                 SEL AN 3 L18
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                 SEL HIT RN

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L23           11 L22 AND L12
L24            1 L13

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L25            0 L13

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 13:19:30 ON 02 SEP 2008
L26            1 L23 AND L1
L27           10 L23 NOT L26

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L28            1 L15,L17

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 13:20:26 ON 02 SEP 2008
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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DICTIONARY FILE UPDATES:   9 SEP 2008  HIGHEST RN 1048111-29-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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  Please note that search-term pricing does apply when
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predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d que sta l9
L8                  STR
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DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.01


=> b hcap
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FILE COVERS 1907 - 10 Sep 2008 VOL 149 ISS 11
FILE LAST UPDATED: 9 Sep 2008 (20080909/ED)

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This file contains CAS Registry Numbers for easy and accurate
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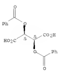
=> d bib abs hitstr 121 tot

L21 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:410818 HCAPLUS
DN 145:136942
TI Development of dinitrophenylated cyclodextrin derivatives for enhanced enantiomeric separations by high-performance liquid chromatography
AU Zhong, Qiqing; He, Lingfeng; Beesley, Thomas E.; Trahanovsky, Walter S.; Sun, Ping; Wang, Chunlei; Armstrong, Daniel W.
CS Department of Chemistry, Iowa State University, Ames, IA, 50011, USA
SO Journal of Chromatography, A (2006), 1115(1-2), 19-45
CODEN: JCRAEY; ISSN: 0021-9673
PB Elsevier B.V.
DT Journal
LA English
AB The synthesis and evaluation of new dinitrophenyl (DNP) substituted β-cyclodextrin (β-CD) chiral stationary phases (CSPs) for the enantiosepn. of various classes of chiral analytes by HPLC are presented. The dinitrophenyl substituted β-CD derivs. were synthesized and covalently bonded to functionalized 5 μm spherical porous silica gel. These are the 1st reported derivatized cyclodextrin which contains π-electron deficient substituents (i.e., π-acidic moieties). The column performance in terms of their ability to sep. enantiomers is evaluated. A variety of different dinitro-substituted aryl groups were studied and compared. The pH of the mobile phase buffers, the buffer composition, the number and position of the dinitro groups on the Ph ring substituent, the degree of substitution, and the bonding strategy all greatly affect the performance of the CSPs. A large variety of racemic compds. were separated successfully on these CSPs. The bonded dinitrophenyl-derivatized cyclodextrins are stable in all three mobile phase modes, namely, the reversed-phase, polar organic, and normal phase modes. No degradation in column performance was observed in any mode of operation even after >1000 injections. The anal. applicability of these types of CSPs for enantiomeric sepns. is discussed.
IT 17026-42-5, 2,3-Dibenzoyl-D-tartaric acid
RL: ANT (Analyte); ANST (Analytical study)
(2,3-dibenzoyl-D-tartaric acid, analyte; dinitrophenylated cyclodextrin derivs. for enhanced enantiomeric sepns. by high-performance liquid chromatog.)
RN 17026-42-5 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

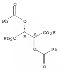
Absolute stereochemistry. Rotation (+).



IT 2743-38-6, 2,3-Dibenzoyl-L-tartaric acid
22333-70-6 23327-57-3 53625-25-5
53648-31-0
RL: ANT (Analyte); ANST (Analytical study)
(analyte, dinitrophenylated cyclodextrin derivs. for enhanced enantiomeric sepns. by high-performance liquid chromatog.)
RN 2743-38-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)- (CA INDEX NAME)

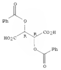
Absolute stereochemistry. Rotation (-).

L21 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

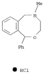


RN 22333-70-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



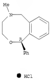
RN 23327-57-3 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



RN 53625-25-5 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

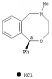
Absolute stereochemistry.

L21 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



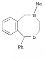
RN 53648-31-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



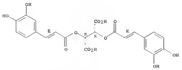
RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:44967 HCAPLUS
DN 144:205230
TI Probabilistic Neural Network Model for the In Silico Evaluation of Anti-HIV Activity and Mechanism of Action
AU Vilar, Santiago; Santana, Lourdes; Uriarte, Eugenio
CS Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15782, Spain
SO Journal of Medicinal Chemistry (2006), 49(3), 1118-1124
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A theor. model has been developed that discriminates between active and nonactive drugs against HIV-1 with four different mechanisms of action for the active drugs. The model was built up using a probabilistic neural network (PNN) algorithm and a database of 2720 compds. The model showed an overall accuracy of 97.34% in the training series, 85.12% in the selection series, and 84.78% in an external prediction series. The model not only correctly classified a very heterogeneous series of organic compds. but also discriminated between very similar active/nonactive chems. that belong to the same family of compds. More specifically, the model recognized 96.02% of nonactive compds., 94.24% of active compds. that inhibited reverse transcriptase, 97.24% of protease inhibitors, 97.14% of virus uncoating inhibitors, and 90.32% of integrase inhibitors. The results indicate that this approach may represent a powerful tool for modeling large databases in QSAR with applications in medicinal chemical
IT 13669-70-0, Nefopam 70831-56-0
204273-55-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(probabilistic neural network model for In silico evaluation of anti-HIV activity and mechanism of action)
RN 13669-70-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 70831-56-0 HCAPLUS
CN Butanedioic acid, 2,3-bis[[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propen-1-yl]oxy]-, (2R,3R)- (CA INDEX NAME)

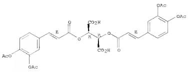
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 204273-55-2 HCAPLUS
CN Butanedioic acid, 2,3-bis[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propen-1-yl]oxy]-, (2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

L21 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Double bond geometry as shown.



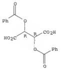
RE.CNT 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:540573 HCAPLUS
DN 143:65677
TI A process for the resolution of nefopam
IN Harris, Michael John; Brown, Stuart
PA Arakis Ltd., UK
SO PCT Int. Appl., 7 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO--2005056539	A2	20050623	2004WO-GB0005198	20041213
	WO--2005056539	A3	20051124		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA-----2548507	A1	20050623	2004CA-002548507	20041213
	EP-----1692118	A2	20060823	2004EP-000806018	20041213
	EP-----1692118	B1	20070418		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN-----1894224	A	20070110	2004CN-080037014	20041213
	AT------360006	T	20070515	2004AT-000806018	20041213
	JP--2007513936	T	20070531	2006JP-000543627	20041213
	ES-----2284078	T3	20071101	2004ES-000806018	20041213
	IN-2006DN02963	A	20070810	2006IN-DN0002963	20060523
	MX-2006PA06522	A	20060823	2006MX-PA0006522	20060608
	US-20070276137	A1	20071129	2007US-000580621	20070327 <--
PRAI	2003GB-000028871	A	20031212		
	2004WO-GB0005198	W	20041213		

AB A process for increasing the optical purity of a mixture of enantiomers of nefopam uses a substantially single enantiomer of a O,O-diaroyltartaric acid as a resolving agent, via a bisnefopam salt of the acid. This salt is a new compound. Thus, racemic nefopam-HCl was treated with 2M NaOH solution, and solid NaOH was added. The free base was treated with O,O-dibenzoyltartaric acid to give the (+)-bis-nefopam O,O-dibenzoyl-L-tartaric acid salt. Chiral HPLC indicated 83% e.e. for (+)-nefopam.
IT 2743-38-6 17026-42-5, Dibenzoyl-D-tartaric acid 23327-57-3, Nefopam hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the resolution of nefopam)
RN 2743-38-6 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)- (CA INDEX NAME)

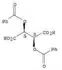
Absolute stereochemistry. Rotation (-).



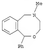
L21 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 17026-42-5 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

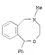


RN 23327-57-3 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

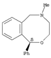
IT 13669-70-0P, Nefopam 110011-82-0P
854439-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the resolution of nefopam)
RN 13669-70-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 110011-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L21 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

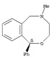


RN 854439-90-0 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (1S)-3,4,5,6-tetrahydro-5-methyl-1-phenyl-1H-2,5-benzoxazocine (1:2) (CA INDEX NAME)

CM 1

CRN 110011-82-0
CMF C17 H19 N O

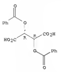
Absolute stereochemistry. Rotation (+).



CM 2

CRN 2743-38-6
CMF C18 H14 O8

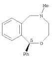
Absolute stereochemistry. Rotation (-).



IT 53648-31-0P, (+)-Nefopam hydrochloride
91463-82-0P, (-)-Nefopam
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for the resolution of nefopam)
RN 53648-31-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

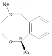
L21 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 91463-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry

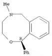


L21 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:48701 HCAPLUS
DN 108:48701
OREF 108:7945a,7948a
TI Nefopam enantiomers: isolation and antinociceptive activity
AU Blaschke, Gottfried; Froehlingsdorf, Bernd; Dee, Karl Hans; Opitz, Klaus; Hartig, Ulrich
CS Pharm. Inst., Westfael. Wilhelms-Univ., Muenster, D-4400, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1987), 320(4), 341-7
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
GI

I

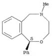
AB The optical isomers of the analgesic neofopam (Ajan) (I) were isolated by chromatog. resolution on microcryst. cellulose triacetate and by fractional crystallization of the diastereoisomeric salts with dibenzoyltartaric acid. In the hot-plate and writhing tests in mice, (+)-nefopam was the more active enantiomer.
IT 91463-82-0, (-)-Nefopam 110011-82-0, (+)-Nefopam
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(isolation and analgesic activity of, structure in relation to)
RN 91463-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



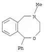
RN 110011-82-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 13669-70-0, (±)-Nefopam

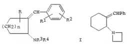
L21 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: BIOL (Biological study)
(stereoisomers sepn. from, analgesic activity in relation to)
RN 13669-70-0 HCAPLUS
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



L21 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1984:6311 HCAPLUS
DN 100:6311
OREF 100:1083a,1086a
TI 2-(Phenylmathylene)cycloalkylamines
IN Szmuszkovicz, Jacob
PA Upjohn Co., USA
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP--------85811	A1	19830817	1982EP-000306779	19821220
	EP--------85811	B1	19860507		
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US-----4540690	A	19850910	1982US-000408333	19820816
	AU-----8291343	A	19830818	1982AU-000091343	19821208
	AU------562051	B2	19870528		
	IL--------67442	A	19860131	1982IL-000067442	19821209
	ZA-----8209562	A	19831026	1982ZA-000009562	19821229
	JP----58159444	A	19830921	1983JP-000018726	19830207
	DK-----8300530	A	19830810	1983DK-000000530	19830208
	HU--------28475	A2	19831228	1983HU-000000432	19830208
	HU-------190887	B	19861228		
	US-----4652559	A	19870324	1985US-000757819	19850722
	AU-----8663504	A	19870115	1986AU-000063504	19861003
PRAI	1982US-000347123	A	19820209		
	1982US-000408333	A	19820816		

OS CASREACT 100:6311; MARPAT 100:6311
GI



I II

AB I [n = 1-4; R = H, alkyl, heteroalkylene; R1, R2 = H, Cl, Br, F, OH, alkyl, etc.; R3R4N = alkylamino, furylmethylamino, etc., or (esp) (un)substituted azetidino] were prepared (82 in all). Thus, cyclohexanone morpholine enamine was prepared, treated with BzCl, then benzylamine, hydrogenated, dehydrated, and cyclized with Br(CH2)3Br to give (±)-E-II, which was superior to nefopam as an analgesic and had antidepressant activity.
IT 87982-49-8P 87982-50-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87982-49-8 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R*,R*)]-, compd. with (E)-(+)-1-[2-(phenylmethylene)cyclohexyl]azetidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 87936-77-4
CMF C16 H21 N

Rotation (+)
Double bond geometry as shown

CM 2

CRN 1743-38-6
CMF C14 H11 O6

Absolute stereochemistry. Rotation (-).

RN 87942-50-1 HCAPLUS
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (R-(R*,R*))-, compd. with (S)-1-(1-(1-(2-(phenylmethyl)cyclohexyl)acetyl)-4-piperidinyl)-1H-1,2,4-triazole-3-carboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 97936-74-3
CMF C16 H21 N

Rotation (+).
Double bond geometry as shown.

CM 2

CRN 17104-43-5
CMF C18 H14 O6

Absolute stereochemistry. Rotation (+).

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-> b uspatall
FILE 'USPATFULL' ENTERED AT 18:05:58 ON 10 SEP 2008
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FILE 'USPATOLD' ENTERED AT 18:05:58 ON 10 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 18:05:58 ON 10 SEP 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

-> d bib abs hitstr 126 5 56 64-65 70 72-75 82 86
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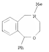
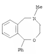
L26 ANSWER 5 OF 86 USPATFULL on STN
AN 2007:315932 USPATFULL
TI Process For The Resolution Of Nefopam
IN James, Michael Christopher, Manchester, UNITED KINGDOM
Brown, Stuart, Manchester, UNITED KINGDOM
PI US-20070276137 A1 20071129
AI 2004US-000580621 A1 20041213 (10) <--
2004WO-GB0005198 20041213
20070327 PCT 371 date
PRAI 2003GB-000028871 20031212 <--
DT Utility
FS APPLICATION
LREP SALIWANCHIK LLOYD & SALIWANCHIK, A PROFESSIONAL ASSOCIATION, PO BOX 142950, GAINESVILLE, FL, 32614-2950, US
CLMN Number of Claims: 11
ECL Exemplary Claim 1
DRWN No Drawings
LN.CNT 196
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for increasing the optical purity of a mixture of enantiomers of nefopam uses a substantially single enantiomer of a O,O-diaroyltartaric acid as a resolving agent, via a bisnefopam salt of the acid. This salt is new

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 23327-57-3, Nefopam hydrochloride
(process for the resolution of nefopam)
RN 23327-57-3 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

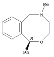
IT 13669-70-0P, Nefopam 110011-82-0P 854439-90-0P
(process for the resolution of nefopam)
RN 13669-70-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl- (CA INDEX NAME)



RN 110011-82-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry Rotation (+).

L26 ANSWER 5 OF 86 USPATFULL on STN (Continued)

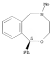


RN 854439-90-0 USPATFULL
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (1S)-3,4,5,6-tetrahydro-5-methyl-1-phenyl-1H-2,5-benzoxazocine (1:2) (CA INDEX NAME)

CM 1

CRN 110011-82-0
CMF C17 H19 N O

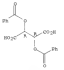
Absolute stereochemistry. Rotation (+).



CM 2

CRN 2743-38-6
CMF C18 H14 O8

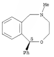
Absolute stereochemistry. Rotation (-).



IT 53648-31-0P, (+)-Nefopam hydrochloride 91463-82-0P, (-)-Nefopam
(process for the resolution of nefopam)
RN 53648-31-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

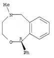
L26 ANSWER 5 OF 86 USPATFULL on STN (Continued)



● HCl

RN 91463-82-0 USPATFULL
CN 1H-2,5-Benzoxazocine, 3,4,5,6-tetrahydro-5-methyl-1-phenyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 56 OF 86 USPATFULL on STN
AN 2003:38178 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, UNITED STATES
Mccauley, James, Belle Mead, NJ, UNITED STATES
PA Merck & Co. Inc. (U.S. corporation)
PI US-20030027825 A1 20030206 <--
US-----6583142 B2 20030624
AI 2002US-000219386 A1 20020812 (10) <--
RLI Division of Ser. No. 2001US-000850370, filed on 7 May 2001, GRANTED, Pat. No. US-----6432953 Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, GRANTED, Pat. No. US-----6229010 Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, GRANTED, Pat. No. US-----6096742 Continuation of Ser. No. 1998US-000108567, filed on 1 Jul 1998, ABANDONED
PRAI 1997US-000051600P 19970702 (60) <--
DT Utility
FS APPLICATION
LREP MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 2082
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention is concerned with a novel polymorphic form of the compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is a tachykinin receptor antagonist useful in the treatment or prevention of disorders of the central nervous system, inflammatory diseases, pain or migraine, asthma, and emesis. The instant polymorphic form has advantages over the other known forms of 2-(R)-(1-(R)-(3,5-bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic stability and suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L26  ANSWER 64 OF 86  USPATFULL on STN
AN     2001:205909  USPATFULL
TI     Polymorphic form of a tachykinin receptor antagonist
IN     Crocker, Louis, Belle Mead, NJ, United States
       Mccauley, James, Belle Mead, NJ, United States
PA     Merck & Co., Inc. (U.S. corporation)
PI     US-20010041702      A1  20011115                              <--
       US------6432953     B2  20020813
AI     2001US-000850370    A1  20010507 (9)                          <--
RLI    Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, GRANTED,
       Pat. No. US------6229010
PRAI   1997US-000051600P   19970702 (60)                             <--
DT     Utility
FS     APPLICATION
LREP   J. ERIC THIES, Patent Department, Merck & Co., Inc, P.O. Box 2000,
       Rahway, NJ, 07065-0907
CLMN   Number of Claims: 20
ECL    Exemplary Claim: 1
DRWN   2 Drawing Page(s)
LN.CNT 2079
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     This invention is concerned with a novel polymorphic form of the
       compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-
       fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is
       a tachykinin receptor antagonist useful in the treatment or prevention
       of disorders of the central nervous system, inflammatory diseases, pain
       or migraine, asthma, and emesis. The instant polymorphic form has
       advantages over the other known forms of 2-(R)-(1-(R)-(3,1-bis(trifluoro-
       methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-
       triazolo)methylmorpholine in terms of thermodynamic stability and
       suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 65 OF 86  USPATFULL on STN
AN     2001:67821  USPATFULL
TI     Polymorphic form of a tachykinin receptor antagonist
IN     Crocker, Louis, Belle Mead, NJ, United States
       McCauley, James, Belle Mead, NJ, United States
PA     Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI     US------6229010      B1  20010508                             <--
AI     1999US-000458168         19991209 (9)                         <--
RLI    Division of Ser. No. 1998US-000212511, filed on 15 Dec 1998, now
       patented, Pat. No. US------6096742
PRAI   1997US-000051600P   19970702 (60)                             <--
DT     Utility
FS     Granted
EXNAM  Primary Examiner: Reamer, James H.
LREP   Thies, J. Eric, Rose, David L.
CLMN   Number of Claims: 7
ECL    Exemplary Claim: 1
DRWN   2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2023
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     This invention is concerned with a novel polymorphic form of the
       compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-
       fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is
       a tachykinin receptor antagonist useful in the treatment or prevention
       of disorders of the central nervous system, inflammatory diseases, pain
       or migraine, asthma, and emesis. The instant polymorphic form has
       advantages over the other known forms of 2-(R)-(1-(R)-(3,,5-
       bis(trifluoro-methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-
       1H,4H-1,2,4-triazolo)methylmorpholine in terms of thermodynamic
       stability and suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 70 OF 86  USPATFULL on STN
AN     87:20639  USPATFULL
TI     2-(Phenylmethylene)cycloalkyl-azetidines
IN     Szmuszkovicz, Jacob, Kalamazoo, MI, United States
PA     The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI     US------4652559           19870324                            <--
AI     1985US-000757819          19850722 (6)                        <--
RLI    Division of Ser. No. 1982US-000408333, filed on 16 Aug 1982, now
       patented, Pat. No. US------4540690 which is a continuation-in-part of
       Ser. No. 1982US-000347123, filed on 9 Feb 1982, now abandoned
DT     Utility
FS     Granted
EXNAM  Primary Examiner: Daus, Donald G.; Assistant Examiner: Teoli, Jr., W.
LREP   Reynolds, John T.
CLMN   Number of Claims: 7
ECL    Exemplary Claim: 1,5
DRWN   No Drawings
LN.CNT 2356
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     2-(Phenylmethylene)cycloalkylamines and -azetidines of the formula
       ##STR1## and acid addition salts thereof, e.g., 1-[2-
       (phenylmethylene)cyclohexyl]azetidine, and related compounds, which have
       analgesic, antidepressant and mixed analgesic/antidepressant central
       nervous system (CNS) activities, and which are useful in treating pain
       and/or depression in memmals including humans. The invention provides
       processes for preparing the compounds as well as compositions containing
       the compounds and methods for using the compounds as analgesic and/or
       antidepressant drugs for humans and valuable memmalian animels.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 72 OF 86  USPATFULL on STN
AN     85:53784  USPATFULL
TI     2-(Phenylmethylene)cycloalkylamines and -azetidines
IN     Szmuszkovicz, Jacob, Kalamazoo, MI, United States
PA     The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI     US------4540690           19850910                            <--
AI     1982US-000408333          19820816 (6)                        <--
RLI    Continuation-in-part of Ser. No. 1982US-000347123, filed on 9 Feb 1982,
       now abandoned
DT     Utility
FS     Granted
EXNAM  Primery Examiner: Daus, Donald G.; Assistant Examiner. Teoli, Jr.,
       William A.
LREP   Reynolds, John T.
CLMN   Number of Claims: 51
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 2520
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     2-(Phenylmethylene)cycloalkylamines and -azetidines of the formula
       ##STR1## and acid addition salts thereof, e.g., 1-[2-
       (phenylmethylene)cyclohexyl]azetidine, and related compounds, which have
       analgesic, antidepressant and mixed analgesic/antidepressant central
       nervous system (CNS) activities, and which are useful in treating pain
       and/or depression in mammals including humans. The invention provides
       processes for preparing the compounds as well as compositions containing
       the compounds and methods for using the compounds as analgesic and/or
       antidepressant drugs for humans and valuable mammalian animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 73 OF 86  USPATFULL on STN
AN      82:30465  USPATFULL
TI      1,4-Cycloalkano-oxazepines, salts thereof and analgesic uses thereof
IN      Treiber, Hans J., Bruehl, Germany, Federal Republic of
        Lenke, Dieter, Ludwigshafen, Germany, Federal Republic of
        Worstmann, Wolfgang, Gruenstadt, Germany, Federal Republic of
PA      BASF Aktiengesellschaft, Germany, Federal Republic of (non-U.S.
        corporation)
PI      US-----4336263          19820622                                <--
        WO-----8000838  19800501                                        <--
AI      1980US-000193947        19800626 (6)                            <--
        1979WO-EP0000080        19791022                                <--
                                19800626  PCT 371 date
                                19800616  PCT 102(e) date
PRAI    1978DE-002846567    19781026                                    <--
DT      Utility
FS      Granted
EXNAM   Primary Examiner: Milestone, Norma S.
LREP    Keil & Witherspoon
CLMN    Number of Claims: 11
ECL     Exemplary Claim: 1
DRWN    No Drawings
LN.CNT 485
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      The invention relates to novel 1,4-cycloalkano-oxazepines of the general
        formula ##STR1## where R.sup.1 is hydrogen, hydroxyl or alkoxy or
        acyloxy of 1 to 4 carbon atoms, R sup.2 is a hydrocarbon radical of 1 to
        3 carbon atoms, n is 1, 2 or 3 and x is 0 or 1, and of its salts with
        physiologically acceptable acids; processes for their preparation, and
        their use in therapy.

        The novel substances are suitable for the pharmacotherapy of pains of
        various origins

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 74 OF 86  USPATFULL on STN
AN      81:28939  USPATFULL
TI      Hexahydro-1,4-oxazepines, their preparation, and drugs containing these
        compounds
IN      Treiber, Hans J., Bruehl, Germany, Federal Republic of
        Lenke, Dieter, Ludwigshafen, Germany, Federal Republic of
        Worstmann, Wolfgang, Gruenstadt, Germany, Federal Republic of
PA      BASF Aktiengesellschaft, Germany, Federal Republic of (non-U.S
        corporation)
PI      US-----4269833          19810526                                <--
AI      1979US-000108370        19791231 (6)                            <--
PRAI    1979DE-002901180    19790113                                    <--
DT      Utility
FS      Granted
EXNAM   Primary Examiner: Milestone, Norma S.
LREP    Keil & Witherspoon
CLMN    Number of Claims: 4
ECL     Exemplary Claim: 1,4
DRWN    No Drawings
LN.CNT 393
CAS INDEXING IS AVAILABLE FOR THIS PATENT
AB      Novel hexahydro-1,4-oxazepines of the general formula I ##STR1## where
        R.sup 1 is hydrogen, or alkyl or acyl each of 1 to 4 carbon atoms,

        R.sup 2 is alkyl of 1 to 4 carbon atoms and

        R.sup 3 and R.sup.4 are identical or different and each is hydrogen or
        methyl, and their salts with physiologically acceptable acids, processes
        for their preparation, drugs which contain these compounds, and their
        use in therapy.

        The compounds may be used for the pharmacotherapy of pain of various
        geneses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 75 OF 86  USPATFULL on STN
AN      80:18615  USPATFULL
TI      Substituted 1-phenyl-2-pyrrolidin-2-yl-ethanols, their synthesis, their
        use and their compositions
IN      Eistetter, Klaus, Constance, Germany, Federal Republic of
        Kley, Hans-Peter, Allensbach, Germany, Federal Republic of
PA      Byk Gulden Lomberg Chemische Fabrik GmbH, Constance, Germany, Federal
        Republic of (non-U.S. corporation)
PI      US-----4198424          19800415                                <--
AI      1978US-000965147        19781130 (5)                            <--
PRAI    1977LU-000078625    19771201                                    <--
DT      Utility
FS      Granted
EXNAM   Primary Examiner: Tovar, Jose
LREP    Berman, Aisenberg & Platt
CLMN    Number of Claims: 22
ECL     Exemplary Claim  1,17
DRWN    No Drawings
LN.CNT 1005
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      Selected substituted 1-phenyl-2-pyrrolidin-2-yl-ethanols and their
        pharmacologically-acceptable acid-addition salts are useful as
        analgesics in human and veterinary medicine. Such compounds are prepared
        by reducing corresponding substituted 1-phenyl-2-pyrrolidin-2-yl
        ethanones and are formulated into medicinal compositions suitable for
        administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 82 OF 86  USPAT2 on STN
AN      2003:38178  USPAT2
TI      Polymorphic form of a tachykinin receptor antagonist
IN      Crocker, Louis, Belle Mead, NJ, United States
        McCauley, James, Belle Mead, NJ, United States
PA      Merck & Co., Rahway, NJ, United States (U.S. corporation)
PI      US-----6583142      B2  20030624                                <--
AI      2002US-000219386        20020812 (10)                           <--
RLI     Division of Ser. No. 2001US-000850370, filed on 7 May 2001, now
        patented, Pat. No. US-----6432953 Division of Ser. No. 1999US-000458168,
        filed on 9 Dec 1999, now patented, Pat. No. US-----6229010 Division of
        Ser. No. 1998US-000212511, filed on 15 Dec 1998, now patented, Pat. No.
        US-----6096742 Continuation of Ser. No. 1998US-000108567, filed on 1 Jul
        1998, now abandoned
PRAI    1997US-000051600P   19970702 (60)                               <--
DT      Utility
FS      GRANTED
EXNAM   Primary Examiner: Reamer, James H
LREP    Thies, J. Eric, Winokur, Melvin
CLMN    Number of Claims: 11
ECL     Exemplary Claim: 1
DRWN    2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2016
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      This invention is concerned with a novel polymorphic form of the
        compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-
        fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is
        a tachykinin receptor antagonist useful in the treatment or prevention
        of disorders of the central nervous system, inflammatory diseases, pain
        or migraine, asthma, and emesis. The instant polymorphic form has
        advantages over the other known forms of 2-(R)-(1-(R)-(3,5-bis(trifluoro-
        methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-
        triazolo)methylmorpholine in terms of thermodynamic stability and
        suitability for inclusion in pharmaceutical formulations

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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L26  ANSWER 86 OF 86  USPAT2 on STN
AN     2001:205909  USPAT2
TI     Polymorphic form of a tachykinin receptor antagonist
IN     Crocker, Louis, Belle Mead, NJ, United States
       McCauley, James, Belle Mead, NJ, United States
PA     Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI     US-----6432953      B2  20020813                            <--
AI     2001US-000850370        20010507 (9)                        <--
RLI    Division of Ser. No. 1999US-000458168, filed on 9 Dec 1999, now
       patented, Pat. No. US-----6229010 Division of Ser. No. 1998US-000212511,
       filed on 15 Dec 1998, now patented, Pat. No. US-----6096742
PRAI   1997US-000051600P   19970702 (60)                           <--
DT     Utility
FS     GRANTED
EXNAM  Primary Examiner: Reamer, James H
LREP   Thies, J. Eric, Winokur, Melvin
CLMN   Number of Claims: 19
ECL    Exemplary Claim: 1,9
DRWN   2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 2043
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     This invention is concerned with a novel polymorphic form of the
       compound 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)-phenyl)-ethoxy)-3-(S)-(4-
       fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methylmorpholine which is
       a tachykinin receptor antagonist useful in the treatment or prevention
       of disorders of the central nervous system, inflammatory diseases, pain
       or migraine, asthma, and emesis. The instant polymorphic form has
       advantages over the other known forms of 2-(R)-(1-(R)-(3,1-bis(trifluoro-
       methyl)-phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(5-oxo-1H,4H-1,2,4-
       triazolo)methylmorpholine in terms of thermodynamic stability and
       suitability for inclusion in pharmaceutical formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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     (FILE 'HCAPLUS' ENTERED AT 15:05:47 ON 10 SEP 2008)
               DEL HIS Y

     FILE 'HCAPLUS' ENTERED AT 15:36:25 ON 10 SEP 2008
L1             1 US2007276137/PN

     FILE 'REGISTRY' ENTERED AT 15:37:25 ON 10 SEP 2008

     FILE 'HCAPLUS' ENTERED AT 15:37:25 ON 10 SEP 2008
L2             TRA L1 1- RN :          8 TERMS

     FILE 'REGISTRY' ENTERED AT 15:37:25 ON 10 SEP 2008
L3             8 SEA L2
L4          3644 C17H19NO
L5             6 L3 AND C6-NC2OC4/ES
L6            23 L4 AND C6-NC2OC4/ES
L7            17 L6 NOT L5
                 ACT J621TART/A
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L8               STR
L9          3855 SEA FILE=REGISTRY SSS FUL L8
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L10            1 L9 AND L6

     FILE 'HCAPLUS' ENTERED AT 15:39:52 ON 10 SEP 2008
L11            1 L10
L12          304 L6
L13            3 L12 AND L9

     FILE 'REGISTRY' ENTERED AT 15:41:17 ON 10 SEP 2008
L14            1 NEFOPAM/CN

     FILE 'HCAPLUS' ENTERED AT 15:41:31 ON 10 SEP 2008
L15          291 NEFOPAM OR FENAZO!IN#
L16            3 L15 AND L9
L17            4 L13,L16
L18            1 L17 AND L1
L19            3 L17 NOT L18
L20            3 L12 AND ?TARTARIC? (1A) ACID?
L21            5 L11,L13,L16,L17,L18,L20
L22          248 L12 AND (PD<=20041213 OR AD<=20041213 OR PRD<=20041213)

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 15:49:19 ON 10 SEP 2008
L23           37 L6

     FILE 'STNGUIDE' ENTERED AT 15:49:52 ON 10 SEP 2008

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 17:52:14 ON 10 SEP 2008
L24          584 L15
L25          112 L23-24 AND ?TARTAR? (1A) ACID?
L26           86 L25 AND (PD<=20041213 OR AD<=20041213 OR PRD<=20041213)

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